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* * * * * * * * *
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         JUL 28
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                 information from the epoline Register
                 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
         JUL 28
NEWS
NEWS 5
         JUL 28
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         AUG 13
                 CA/CAplus enhanced with printed Chemical Abstracts
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                 page images from 1967-1998
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     8
         AUG 15
                CAOLD to be discontinued on December 31, 2008
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     9
         AUG 15 CAplus currency for Korean patents enhanced
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         AUG 27
                 CAS definition of basic patents expanded to ensure
                 comprehensive access to substance and sequence
                 information
NEWS 11 SEP 18
                 Support for STN Express, Versions 6.01 and earlier,
                 to be discontinued
NEWS 12
         SEP 25 CA/CAplus current-awareness alert options enhanced
                 to accommodate supplemental CAS indexing of
                 exemplified prophetic substances
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         SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and
                 and Korean patents enhanced
NEWS 14
         SEP 29
                 IFICLS enhanced with new super search field
NEWS 15
         SEP 29 EMBASE and EMBAL enhanced with new search and
                 display fields
NEWS 16
         SEP 30 CAS patent coverage enhanced to include exemplified
                 prophetic substances identified in new Japanese-
                 language patents
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NEWS 17
NEWS 18
         OCT 07 Multiple databases enhanced for more flexible patent
                 number searching
NEWS 19
         OCT 22 Current-awareness alert (SDI) setup and editing
                 enhanced
NEWS 20
         OCT 22
                 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                 Applications
NEWS 21 OCT 24
                 CHEMLIST enhanced with intermediate list of
                 pre-registered REACH substances
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
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FULL ESTIMATED COST

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DICTIONARY FILE UPDATES: 18 NOV 2008 HIGHEST RN 1073232-10-6

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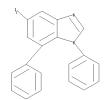
Match level :

20:Atom 21:Atom 24:CLASS

Page 311/19/2008<page11/19/2008

=>

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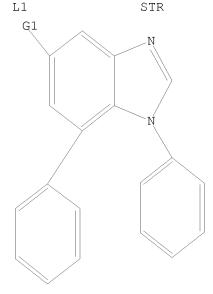
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chain nodes :
24
ring nodes :
1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 12 \quad 13 \quad 14 \quad 15 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20 \quad 21
chain bonds :
1-19 3-24 6-13
ring bonds :
1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 5-6 \quad 5-9 \quad 6-7 \quad 7-8 \quad 8-9 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14
14-15 16-17 16-21 17-18 18-19 19-20 20-21
exact/norm bonds :
3-24 5-6 5-9 6-7 6-13 8-9
exact bonds :
1 - 19
normalized bonds :
1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 7-8 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15 \quad 16-17
16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 : 10 : 16 :
G1:CF3, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO2, X, Ak
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

Page 3<C

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS



G1 CF3, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO2, X, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:54:06 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 286 TO 954

PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 sss full

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FULL SCREEN SEARCH COMPLETED - 659 TO ITERATE

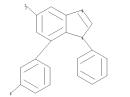
100.0% PROCESSED 659 ITERATIONS 76 ANSWERS

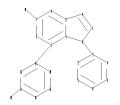
SEARCH TIME: 00.00.01

L3 76 SEA SSS FUL L1

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chain nodes :
24 26
ring nodes :
1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 12 \quad 13 \quad 14 \quad 15 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20 \quad 21
chain bonds :
1-19 3-24 6-13 17-26
ring bonds :
1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 5-6 \quad 5-9 \quad 6-7 \quad 7-8 \quad 8-9 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14
14-15 16-17 16-21 17-18 18-19 19-20 20-21
exact/norm bonds :
3-24 5-6 5-9 6-7 6-13 8-9 17-26
exact bonds :
1 - 19
normalized bonds :
1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 7-8 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15 \quad 16-17
16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 : 10 : 16 :
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

Page 5<C

G1:CF3, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO2, X, Ak

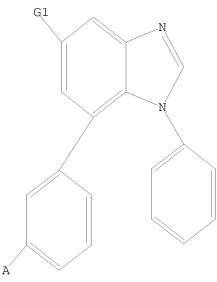
20:Atom 21:Atom 24:CLASS 26:CLASS

Page 511/19/2008<page11/19/2008

Match level :

L4 STRUCTURE UPLOADED

=> d 14 L4 HAS NO ANSWERS L4 STR



G1 CF3, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO2, X, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 13:55:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 286 TO 954
PROJECTED ANSWERS: 4 TO 200

L5 4 SEA SSS SAM L4

=> s 14 sss full

FULL SEARCH INITIATED 13:55:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 659 TO ITERATE

100.0% PROCESSED 659 ITERATIONS 59 ANSWERS

SEARCH TIME: 00.00.01

1.6 59 SEA SSS FUL L4

=> FIL HCAPLUS

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 357.18 357.39

FULL ESTIMATED COST

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=> s 13

L7 3 L3

=> s 16

L8 3 L6

=> d 17 ibib abs hitstr tot

ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:395281 HCAPLUS

DOCUMENT NUMBER: 142:447213

A preparation of 1,5,7-trisubstituted benzimidazole TITLE: derivatives, useful as modulator of GABAA receptor

INVENTOR(S): Hamilton, Niall Morton; Napier, Susan Elizabeth;

Easson, Morag Ann Maccall; Cooke, Andrew John; Teuber,

Lene; Mirza, Naheed; Waetjen, Frank

Akzo Nobel N.V., Neth.; Neurosearch A/S PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND		DATE		APPLICATION NO.					DATE				
WO 2	WO 2005040131				A1		20050506		WO 2004-EP52582					20041020			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
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		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	TG	·	·	,	•	·	·		•		·	•	·	·
EP 3	EP 1678144				A1 20060712			EP 2004-791257					20041020				
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	FΙ,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK				
JP 2	JP 2007509108				Τ		2007	0412		JP 2	2006-	5360	85		2	0041	020
	US 20070021482															0060	411
PRIORITY APPLN. INFO.:										2003-					0031	023	
											2003-					0031	
										WO 2	2004-	EP52	582		W 2	0041	020
OTHER SOU	URCE	(S):			CASI	REAC	T 14	2:44									

The invention relates to a preparation of 1,5,7-trisubstituted benzimidazole derivs. of formula I [wherein: R1 is halogen, CF3, CN, NO2, alkyl, or alkoxy, etc.; R2 is (un)substituted phenyl], useful as modulator of GABAa receptor. The invention compds. are useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of GABAA receptor. For instance, (aminophenyl)benzimidazole oxime derivative II (IC50 = $0.0042~\mu\text{M}$) was prepared via reduction and N-formylation of 7-(3-aminophenyl)-5-cyano-1-phenylbenzimidazole and subsequent oxime-formation of the obtained 7-[3-(formylamino)phenyl]-5-formyl-1-phenylbenzimidazole (yields: reduction/formylation - 27%, oxime formation - 13%).

IT 851230-13-2P, 5-Cyano-7-(4-hydroxymethylphenyl)-1 phenylbenzimidazole 851230-14-3P 851230-30-3P,
 5-Ethoxycarbonyl-1-phenyl-7-(3-trifluoromethoxyphenyl)benzimidazole
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

11575380

(Reactant or reagent)

(intermediate; preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

RN 851230-13-2 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-(hydroxymethyl)phenyl]-1-phenyl-(CA INDEX NAME)

RN 851230-14-3 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-[(methylsulfonyl)methyl]phenyl]-1-phenyl- (CA INDEX NAME)

RN 851230-30-3 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-phenyl-7-[3-(trifluoromethoxy)phenyl]-, ethyl ester (CA INDEX NAME)

ΤТ 159726-00-8P, 7-(3-Aminophenyl)-1-phenyl-5trifluoromethylbenzimidazole 851229-48-6P, 7-(3-Aminophenyl)-5-cyano-1-phenylbenzimidazole 851229-55-5P, 7-[3-(Hydroxymethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole 851229-57-7P, 7-(3-Acetamidophenyl)-5-ethoxycarbonyl-1phenylbenzimidazole 851229-59-9P, 7-(3-Aminophenyl)-5-ethoxycarbonyl-1-phenylbenzimidazole 851229-60-2P, 5-(Ethoxycarbonyl)-7-[3-(hydroxymethyl)phenyl]-1phenylbenzimidazole 851229-65-7P, 5-Cyano-7-(3-hydroxymethylphenyl)-1-phenylbenzimidazole 851229-87-3P, 5-Cyano-7-(4-hydroxyphenyl)-1-phenylbenzimidazole 851229-89-5P 851230-06-3P, 7-(3-Acetamidophenyl)-1-phenyl-5-trifluoromethylbenzimidazole 851230-34-7P, 7-(3-Acetylphenyl)-1-phenyl-5trifluoromethylbenzimidazole 851230-44-9P, 7-[3-(1-Hydroxyethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole 851363-74-1P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor) RN 159726-00-8 HCAPLUS Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA CN INDEX NAME)

RN 851229-48-6 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-aminophenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-55-5 HCAPLUS

CN Benzenemethanol, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851229-57-7 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(acetylamino)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)

RN 851229-59-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-(3-aminophenyl)-1-phenyl-, ethyl ester (CA INDEX NAME)

RN 851229-60-2 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)

RN 851229-65-7 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-(CA INDEX NAME)

RN 851229-87-3 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(4-hydroxyphenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-89-5 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(dimethylamino)phenyl]-1-phenyl-(CA INDEX NAME)

RN 851230-06-3 HCAPLUS

CN Acetamide, N-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]-(CA INDEX NAME)

RN 851230-34-7 HCAPLUS

CN Ethanone, 1-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

RN 851230-44-9 HCAPLUS

CN Benzenemethanol, α -methyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851363-74-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxaldehyde, 7-(3-aminophenyl)-1-phenyl-,
O-methyloxime (CA INDEX NAME)

ΤТ 159726-03-1P, 1,7-Diphenyl-5-trifluoromethylbenzimidazole 851229-46-4P, 7-(3-Chlorophenyl)-1-phenyl-5trifluoromethylbenzimidazole 851229-47-5P 851229-56-6P , 1-Phenyl-7-[3-(1,2,3,6-tetrahydropyridin-1-ylmethyl)phenyl]-5trifluoromethylbenzimidazole 851229-61-3P 851229-63-5P 5-Cyano-7-(3-nitrophenyl)-1-phenylbenzimidazole 851229-66-8P 851229-68-0P, 5-Cyano-7-[3-[(1-methylpiperazin-4-yl)methyl]phenyl]-1-phenylbenzimidazole 851229-69-1P 851229-73-7P, 5-Cyano-7-[3-(diethylaminomethyl)phenyl]-1-phenylbenzimidazole 851229-74-8P 851229-78-2P, 7-(3-Acetamidophenyl)-5-cyano-1-phenylbenzimidazole 851229-80-6P , 5-Cyano-7-(4-methoxyphenyl)-1-phenylbenzimidazole 851229-82-8P , 5-Cyano-7-(3-methoxyphenyl)-1-phenylbenzimidazole 851229-84-0P 5-Cyano-7-(4-cyanophenyl)-1-phenylbenzimidazole 851229-86-2P, 5-Cyano-7-(3-fluorophenyl)-1-phenylbenzimidazole 851229-95-3P, 7-(3-Aminophenyl)-5-hydroxymethyl-1-phenylbenzimidazole 851229-96-4P 851229-98-6P 851229-99-7P, 5-Ethoxycarbonyl-7-[3-[(dimethylamino)methyl]phenyl]-1-phenylbenzimidazole 851230-00-7P, 5-Cyano-7-(3-cyanophenyl)-1-phenylbenzimidazole 851230-02-9P, 5-Cyano-7-(4-nitrophenyl)-1-phenylbenzimidazole 851230-04-1P, 7-(4-Acetamidophenyl)-5-cyano-1-phenylbenzimidazole 851230-08-5P 851230-10-9P 851230-12-1P 851230-16-5P 851230-17-6P, 7-(3-Acetamidophenyl)-5-hydroxymethyl-1-phenylbenzimidazole 851230-18-7P 851230-19-8P, 7-(3-Dimethylaminophenyl)-5-trifluoromethyl-1-phenylbenzimidazole 851230-20-1P, 7-(3-Methylaminophenyl)-5-trifluoromethyl-1-

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RN 851229-46-4 HCAPLUS CN 1H-Benzimidazole, 7-(3-chlorophenyl)-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851229-47-5 HCAPLUS CN 1H-Benzimidazole-5-carboxaldehyde, 7-(3-aminophenyl)-1-phenyl-, oxime (CA INDEX NAME)

RN 851229-56-6 HCAPLUS

CN 1H-Benzimidazole, 7-[3-[(3,6-dihydro-1(2H)-pyridinyl)methyl]phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851229-61-3 HCAPLUS

CN Benzonitrile, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851229-63-5 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-nitrophenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-66-8 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851229-65-7 CMF C21 H15 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851229-68-0 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl- (CA INDEX NAME)

RN 851229-69-1 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851229-68-0 CMF C26 H25 N5

$$\begin{array}{c|c} N & CH_2 \\ \hline N & N \\ \hline N & N \\ \hline \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851229-73-7 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(diethylamino)methyl]phenyl]-1-phenyl- (CA INDEX NAME)

RN 851229-74-8 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(diethylamino)methyl]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851229-73-7 CMF C25 H24 N4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851229-78-2 HCAPLUS

CN Acetamide, N-[3-(5-cyano-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA INDEX NAME)

RN 851229-80-6 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(4-methoxyphenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-82-8 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-methoxyphenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-84-0 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(4-cyanophenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-86-2 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-fluorophenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-95-3 HCAPLUS

CN 1H-Benzimidazole-5-methanol, 7-(3-aminophenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-96-4 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(4-morpholinylmethyl)phenyl]-1-phenyl-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851229-98-6 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} N & CH_2 \\ \hline N & Ph \\ \hline N & N \\ \hline \\ EtO-C \\ \hline \\ O \\ \end{array}$$

● HCl

RN 851229-99-7 HCAPLUS

CN

1H-Benzimidazole-5-carboxylic acid, 7-[3-[(dimethylamino)methyl]phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)

11575380

RN 851230-00-7 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-cyanophenyl)-1-phenyl- (CA INDEX NAME)

RN 851230-02-9 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(4-nitrophenyl)-1-phenyl- (CA INDEX NAME)

RN 851230-04-1 HCAPLUS

CN Acetamide, N-[4-(5-cyano-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA INDEX NAME)

RN 851230-08-5 HCAPLUS

CN Acetamide, N-[3-[5-[(methoxyimino)methyl]-1-phenyl-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

RN 851230-10-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxaldehyde, 7-[3-(dimethylamino)phenyl]-1-phenyl-, O-methyloxime (CA INDEX NAME)

RN 851230-12-1 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-(1-amino-1-ethylpropyl)phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-11-0 CMF C25 H24 N4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851230-16-5 HCAPLUS

CN Benzamide, 4-(5-cyano-1-phenyl-1H-benzimidazol-7-yl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-15-4 CMF C21 H14 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851230-17-6 HCAPLUS

CN Acetamide, N-[3-[5-(hydroxymethyl)-1-phenyl-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

RN 851230-18-7 HCAPLUS

CN 1H-Benzimidazole-5-methanol, 7-[3-(ethylamino)phenyl]-1-phenyl- (CA INDEX NAME)

RN 851230-19-8 HCAPLUS

CN Benzenamine, N,N-dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-y1]- (CA INDEX NAME)

RN 851230-20-1 HCAPLUS

CN Benzenamine, N-methyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851230-21-2 HCAPLUS

CN 1H-Benzimidazole, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} N & CH_2 \\ \hline N & N \\ \hline \end{array}$$

RN 851230-23-4 HCAPLUS

CN 1H-Benzimidazole, 7-[3-(4-morpholinylmethyl)phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851230-24-5 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851230-25-6 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1-phenyl- (CA INDEX NAME)

RN 851230-26-7 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-25-6 CMF C26 H24 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851230-27-8 HCAPLUS

CN Acetamide, N-methyl-N-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

RN 851230-29-0 HCAPLUS

CN 1H-Benzimidazole-5-methanol, 1-phenyl-7-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 851230-35-8 HCAPLUS

CN 1H-Benzimidazole, 7-(3-fluorophenyl)-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851230-40-5 HCAPLUS

CN Benzenamine, 3-[5-(1,1-dimethylethyl)-1-phenyl-1H-benzimidazol-7-yl]-N,N-dimethyl- (CA INDEX NAME)

RN 851230-41-6 HCAPLUS

CN Benzenamine, 3-[5-(1,1-dimethylethyl)-1-phenyl-1H-benzimidazol-7-yl]-N,N-dimethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-40-5 CMF C25 H27 N3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851230-43-8 HCAPLUS
CN 1H-Benzimidazole, 7-[3-(1-methoxyethyl)phenyl]-1-phenyl-5(trifluoromethyl)- (CA INDEX NAME)

RN 851230-56-3 HCAPLUS CN Benzenemethanol, α,α -dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851230-58-5 HCAPLUS CN 1H-Benzimidazole, 7-(3-fluorophenyl)-5-methyl-1-phenyl- (CA INDEX NAME)

RN 851230-59-6 HCAPLUS

CN 1H-Benzimidazole, 7-(3-fluorophenyl)-5-methyl-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-58-5 CMF C20 H15 F N2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851230-89-2 HCAPLUS

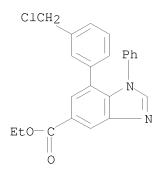
CN 1H-Benzimidazole-5-carbonitrile, 7-[4-(diethylamino)phenyl]-1-phenyl- (CA INDEX NAME)

IT 851229-49-7P, 7-[3-(Formylamino)phenyl]-5-formyl-1 phenylbenzimidazole 851229-97-5P,
 5-Ethoxycarbonyl-7-[3-(chloromethyl)phenyl]-1-phenylbenzimidazole
 851230-22-3P, 7-[3-(Chloromethyl)phenyl]-1-phenyl-5 trifluoromethylbenzimidazole
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as
 modulator of GABAA receptor)
RN 851229-49-7 HCAPLUS
CN Formamide, N-[3-(5-formyl-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA

OHC NH Ph

INDEX NAME)

RN 851229-97-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(chloromethyl)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)



RN 851230-22-3 HCAPLUS

CN 1H-Benzimidazole, 7-[3-(chloromethyl)phenyl]-1-phenyl-5-(trifluoromethyl)-(CA INDEX NAME)

Ph N N

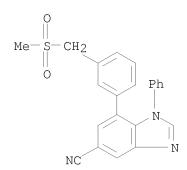
IT 851229-76-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

RN 851229-76-0 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(methylsulfonyl)methyl]phenyl]-1-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:580566 HCAPLUS

DOCUMENT NUMBER: 125:300997

ORIGINAL REFERENCE NO.: 125:56339a,56342a

TITLE: Benzimidazole compounds useful as benzodiazepine

receptor ligands

INVENTOR(S): Teuber, Lene; Axelsson, Oskar; Watjen, Frank

PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 207,774,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 5554630	A	19960910	US 1995-410572		19950324
ZA 9402079	A	19941024	ZA 1994-2079		19940324
US 5554632	A	19960910	US 1994-352585		19941209
PRIORITY APPLN. INFO.:			DK 1993-337	Α	19930324
			DK 1993-1055	Α	19930921
			US 1994-207774	В2	19940308

OTHER SOURCE(S):

MARPAT 125:300997

GΙ

AΒ The invention discloses title compds. I [R3 = certain (un)substituted (hetero)aryl groups; R4 = H, NH2, NO2, cyano, halo, acylamino, (un) substituted aryl; or R4 forms bridges to aryl ring of R3; R6, R7 = H, halo, NH2, NO2, cyano, acylamino, CF3, (un) substituted aryl; or R6 and R7 form certain optionally heteroatom-containing bridges] and their pharmaceutically acceptable salts, as well as the medical use of a broader class of 1-arylbenzimidazoles, including I. The compds. are useful for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders, and memory disorders. For example, 2-amino-3'-iodo-4-(trifluoromethyl)diphenylamine (preparation given) underwent cyclocondensation with formic acid at reflux, and coupling with imidazole in the presence of K2CO3 and CuBr at 200°, to give title compound II [R6 = CF3]. In an in-vivo test for inhibition of [3H]-flunitrazepam specific binding to mouse forebrain GABAA receptors, II [R6 = CF3] had an ED50 of 7.3 mg/kg i.p., and II [R6 = Me] had an ED50 of 0.8 mg/kg i.p.

IT 159726-00-8P 159726-01-9P 159726-03-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as benzodiazepine receptor ligands)

RN 159726-00-8 HCAPLUS

CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 159726-01-9 HCAPLUS

CN Benzonitrile, 3-[7-(3-aminophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 159726-03-1 HCAPLUS

CN 1H-Benzimidazole, 1,7-diphenyl-5-(trifluoromethyl)- (CA INDEX NAME)

L7 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:252476 HCAPLUS

DOCUMENT NUMBER: 122:31527
ORIGINAL REFERENCE NO.: 122:6227a,6230a

TITLE: Preparation of benzimidazole derivatives for the

treatment of central nervous system disorders.

Avalseen Oskar: Tauber Lene: Watien Frank

INVENTOR(S): Axelsson, Oskar; Teuber, Lene; Watjen, Frank PATENT ASSIGNEE(S): Neurosearch A/S, Den.; Meiji Seika Kaisha Ltd.

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

11575380

PATENT INFORMATION:

PAT	ENT NO.	KIND	DATE	APPLICATION NO.	DATE
	616807	A1		EP 1994-610012	19940311
EP	616807		19980708		MO NI DE CE
				GB, GR, IE, IT, LI, LU,	
	9457521	A		AU 1994-57521	19940303
AU	675484	В2	19970206		
AT	168007	T	19980715	AT 1994-610012	19940311
ES	2119124	Т3	19981001	ES 1994-610012	19940311
CA	2119511	A1	19940925	CA 1994-2119511	19940321
CA	2119511	С	20020716		
NO	9401052	A	19940926	NO 1994-1052	19940323
CN	1099391	A	19950301	CN 1994-103348	19940323
CN	1057088	С	20001004		
FI	9401378	A	19940925	FI 1994-1378	19940324
FI	113651	В1	20040531		
ZA	9402079	A	19941024	ZA 1994-2079	19940324
JP	07002838	A	19950106	JP 1994-78094	19940324
JP	3466265	В2	20031110		
PRIORITY	APPLN. INFO.:			DK 1993-337	A 19930324
				DK 1993-1055	A 19930921
OTHER SO	URCE(S):	MARPAT	122:3152	7	

$$\begin{array}{c|c}
R^7 \\
\hline
N \\
R^3 \\
R^4
\end{array}$$

GΙ

- AB Benzimidazole compds. I (R3 = substituted Ph, pyridinyl, etc.; R4 = H, amino, nitro, etc.; R6, R7 = H, halo, cyano, nitro, etc.) were disclosed for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders.
- CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 159726-01-9 HCAPLUS

CN Benzonitrile, 3-[7-(3-aminophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 159726-03-1 HCAPLUS

CN 1H-Benzimidazole, 1,7-diphenyl-5-(trifluoromethyl)- (CA INDEX NAME)

=> d 18 ibib abs tot

L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:395281 HCAPLUS

DOCUMENT NUMBER: 142:447213

TITLE: A preparation of 1,5,7-trisubstituted benzimidazole

derivatives, useful as modulator of GABAA receptor INVENTOR(S): Hamilton, Niall Morton; Napier, Susan Elizabeth;

Easson, Morag Ann Maccall; Cooke, Andrew John; Teuber,

Lene; Mirza, Naheed; Waetjen, Frank

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.; Neurosearch A/S

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	PATENT NO.			KIN	KIND DATE APPLICATION NO.					DATE							
W(0 2005	0401	 31		A1	_	2005	0506							2	0041	020
	W:	ΑE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	ΝΙ,
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ΤJ,	TM,	TN,	TR,	TΤ,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM_{\bullet}	ZW
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,
		AΖ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{m{\prime}}$	MR,	ΝE,
		SN,	TD,	ΤG													
El	P 1678	144			A1		2006	0712		EP 2	004-	7912	57		2	0041	020
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
							TR,										
J!	P 2007	5091	8 0		T		2007	0412		JP 2	006-	5360	85		2	0041	020
U:	S 2007	0021	482		A1		2007	0125								0060	
PRIORI:	TY APP	LN.	INFO	.:						DK 2	003-	1566			A 2	0031	023
										US 2	003-	5136	09P		P 2	0031	024
										WO 2	004-	EP52	582	•	W 2	0041	020
OTHER S	SOURCE	(S):			CAS	REAC	T 14	2 : 44	7213	; MA	RPAT	142	:447	213			

AB The invention relates to a preparation of 1,5,7-trisubstituted benzimidazole derivs. of formula I [wherein: R1 is halogen, CF3, CN, NO2, alky1, or alkoxy, etc.; R2 is (un)substituted pheny1], useful as modulator of GABAa receptor. The invention compds. are useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of GABAA receptor. For instance, (aminopheny1)benzimidazole oxime derivative II (IC50 = 0.0042 μM) was prepared via reduction and N-formylation of 7-(3-aminopheny1)-5-cyano-1-phenylbenzimidazole and subsequent oxime-formation of the obtained 7-[3-(formylamino)pheny1]-5-formyl-1-phenylbenzimidazole (yields: reduction/formylation - 27%, oxime formation -

13%).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:580566 HCAPLUS

DOCUMENT NUMBER: 125:300997

ORIGINAL REFERENCE NO.: 125:56339a,56342a

TITLE: Benzimidazole compounds useful as benzodiazepine

receptor ligands

INVENTOR(S): Teuber, Lene; Axelsson, Oskar; Watjen, Frank
PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 207,774,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
US 5554630	A	19960910	US 1995-410572		19950324
ZA 9402079	A	19941024	ZA 1994-2079		19940324
US 5554632	A	19960910	US 1994-352585		19941209
PRIORITY APPLN. INFO.:			DK 1993-337	Α	19930324
			DK 1993-1055	Α	19930921
			US 1994-207774	В2	19940308

OTHER SOURCE(S): MARPAT 125:300997

GΙ

$$\mathbb{R}^{6}$$
 \mathbb{R}^{7}
 \mathbb{R}^{8}
 \mathbb{R}^{8}
 \mathbb{R}^{8}
 \mathbb{R}^{9}
 \mathbb{R}^{1}
 \mathbb{R}^{1}
 \mathbb{R}^{1}

AB The invention discloses title compds. I [R3 = certain (un)substituted (hetero)aryl groups; R4 = H, NH2, NO2, cyano, halo, acylamino, (un)substituted aryl; or R4 forms bridges to aryl ring of R3; R6, R7 = H, halo, NH2, NO2, cyano, acylamino, CF3, (un)substituted aryl; or R6 and R7 form certain optionally heteroatom-containing bridges] and their pharmaceutically acceptable salts, as well as the medical use of a broader class of 1-arylbenzimidazoles, including I. The compds. are useful for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders, and memory

disorders. For example, 2-amino-3'-iodo-4-(trifluoromethyl)diphenylamine (preparation given) underwent cyclocondensation with formic acid at reflux, and coupling with imidazole in the presence of K2CO3 and CuBr at 200°, to give title compound II [R6 = CF3]. In an in-vivo test for inhibition of [3H]-flunitrazepam specific binding to mouse forebrain GABAA receptors, II [R6 = CF3] had an ED50 of 7.3 mg/kg i.p., and II [R6 = Me] had an ED50 of 0.8 mg/kg i.p.

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:252476 HCAPLUS

DOCUMENT NUMBER: 122:31527

ORIGINAL REFERENCE NO.: 122:6227a,6230a

TITLE: Preparation of benzimidazole derivatives for the

treatment of central nervous system disorders.

INVENTOR(S): Axelsson, Oskar; Teuber, Lene; Watjen, Frank PATENT ASSIGNEE(S): Neurosearch A/S, Den.; Meiji Seika Kaisha Ltd.

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

P <i>P</i>	ATENT NO.		KIND	DATE	AP:	PLICATION NO.		DATE	
	9 616807 9 616807			19940928 19980708	EP	1994-610012		19940311	
				, ES, FR,	GB, G	R, IE, IT, LI,	LU, M	C, NL, PT,	SE
AU	J 9457521		A			1994-57521			
AU	J 675484		В2	19970206					
AT	168007		T	19980715	AT	1994-610012		19940311	
ES	3 2119124		Т3	19981001	ES	1994-610012		19940311	
CP	2119511		A1	19940925	CA	1994-2119511		19940321	
CP	2119511		С	20020716					
NC	9401052		A	19940926	NO	1994-1052		19940323	
CN	1099391		A	19950301	CN	1994-103348		19940323	
CN	1057088		С	20001004					
FI	9401378		A	19940925	FI	1994-1378		19940324	
FI	113651		В1	20040531					
ZP	9402079		A	19941024	ZA	1994-2079		19940324	
JE	07002838		A	19950106	JP	1994-78094		19940324	
JF	3466265		B2	20031110					
PRIORIT	Y APPLN. IN	FO.:			DK	1993-337	A	19930324	
					DK	1993-1055	A	19930921	
OTHER S	SOURCE(S):		MARPAT	122:3152	7				

OTHER SOURCE(S): MARPAT 122:3152/

GI

AB Benzimidazole compds. I (R3 = substituted Ph, pyridinyl, etc.; R4 = H, amino, nitro, etc.; R6, R7 = H, halo, cyano, nitro, etc.) were disclosed for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders.

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

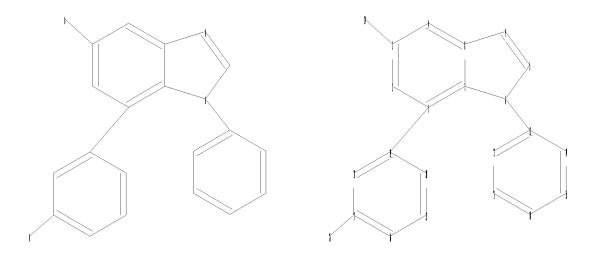
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http://www.cas.org/support/stngen/stndoc/properties.html

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Uploading C:\Program Files\Stnexp\Queries\10575380x.str



chain nodes : 24 26 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 chain bonds : 1-19 3-24 6-13 17-26 ring bonds : $1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 5-6 \quad 5-9 \quad 6-7 \quad 7-8 \quad 8-9 \quad 10-11 \quad 10-15 \quad 11-12 \quad 12-13 \quad 13-14$ 14-15 16-17 16-21 17-18 18-19 19-20 20-21 exact/norm bonds : 3-24 5-6 5-9 6-7 6-13 8-9 17-26 exact bonds : 1-19 normalized bonds : 1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15 16-17 16-21 17-18 18-19 19-20 20-21 isolated ring systems : containing 1 : 10 : 16 :

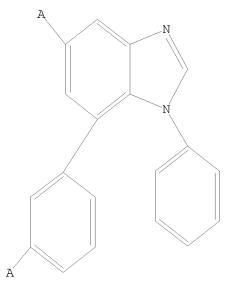
G1:CF3, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO2, X, Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 24:CLASS 26:CLASS

L9 STRUCTURE UPLOADED

=> d 19 L9 HAS NO ANSWERS L9 STR



G1 CF3, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO2, X, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 13:59:28 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 63 TO ITERATE

100.0% PROCESSED 63 ITERATIONS 4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** 784 TO 1736 PROJECTED ITERATIONS: PROJECTED ANSWERS: 4 TO 200

L10 4 SEA SSS SAM L9

=> s 19 sss full

FULL SEARCH INITIATED 13:59:34 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1332 TO ITERATE

100.0% PROCESSED 1332 ITERATIONS 59 ANSWERS

SEARCH TIME: 00.00.01

59 SEA SSS FUL L9 L11

=> FIL HCAPLUS

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FILE COVERS 1907 - 19 Nov 2008 VOL 149 ISS 21 FILE LAST UPDATED: 18 Nov 2008 (20081118/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 111

L12 3 L11

=> d 112 ibib abs hitstr tot

L12 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:395281 HCAPLUS

DOCUMENT NUMBER: 142:447213

TITLE: A preparation of 1,5,7-trisubstituted benzimidazole derivatives, useful as modulator of GABAA receptor

INVENTOR(S): Hamilton, Niall Morton; Napier, Susan Elizabeth;

Easson, Morag Ann Maccall; Cooke, Andrew John; Teuber,

Lene; Mirza, Naheed; Waetjen, Frank

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.; Neurosearch A/S

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			KIN	KIND DATE			APPLICATION NO.						DATE					
			7.1 2005050										20041020					
WO 2005040131 A1				AI		2005	20050506 WO 2004-EP52582						20041020					
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1678144
                                20060712
                                            EP 2004-791257
                          Α1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     JP 2007509108
                          Τ
                                20070412
                                            JP 2006-536085
                                                                    20041020
     US 20070021482
                          Α1
                                20070125
                                            US 2006-575380
                                                                    20060411
PRIORITY APPLN. INFO.:
                                            DK 2003-1566
                                                                   20031023
                                                                 Α
                                            US 2003-513609P
                                                                Ρ
                                                                    20031024
                                            WO 2004-EP52582
                                                                W
                                                                    20041020
OTHER SOURCE(S):
                        CASREACT 142:447213; MARPAT 142:447213
GΙ
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The invention relates to a preparation of 1,5,7-trisubstituted benzimidazole derivs. of formula I [wherein: R1 is halogen, CF3, CN, NO2, alkyl, or alkoxy, etc.; R2 is (un)substituted phenyl], useful as modulator of GABAa receptor. The invention compds. are useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of GABAA receptor. For instance, (aminophenyl)benzimidazole oxime derivative II (IC50 = $0.0042~\mu\text{M}$) was prepared via reduction and N-formylation of 7-(3-aminophenyl)-5-cyano-1-phenylbenzimidazole and subsequent oxime-formation of the obtained 7-[3-(formylamino)phenyl]-5-formyl-1-phenylbenzimidazole (yields: reduction/formylation - 27%, oxime formation - 13%).

IT 851230-30-3P, 5-Ethoxycarbonyl-1-phenyl-7-(3-

trifluoromethoxyphenyl)benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

RN 851230-30-3 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid,

1-phenyl-7-[3-(trifluoromethoxy)phenyl]-, ethyl ester (CA INDEX NAME)

159726-00-8P, 7-(3-Aminophenyl)-1-phenyl-5-ΙT trifluoromethylbenzimidazole 851229-48-6P, 7-(3-Aminophenyl)-5-cyano-1-phenylbenzimidazole 851229-55-5P, 7-[3-(Hydroxymethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole 851229-57-7P, 7-(3-Acetamidophenyl)-5-ethoxycarbonyl-1phenylbenzimidazole 851229-59-9P, 7-(3-Aminophenyl)-5-ethoxycarbonyl-1-phenylbenzimidazole 851229-60-2P, 5-(Ethoxycarbonyl)-7-[3-(hydroxymethyl)phenyl]-1phenylbenzimidazole 851229-65-7P, 5-Cyano-7-(3-hydroxymethylphenyl)-1-phenylbenzimidazole 851229-89-5P 851230-06-3P, 7-(3-Acetamidophenyl)-1-phenyl-5-trifluoromethylbenzimidazole 851230-34-7P, 7-(3-Acetylphenyl)-1-phenyl-5trifluoromethylbenzimidazole 851230-44-9P, 7-[3-(1-Hydroxyethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole 851363-74-1P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor) RN 159726-00-8 HCAPLUS Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA CN INDEX NAME)

RN 851229-48-6 HCAPLUS
CN 1H-Benzimidazole-5-carbonitrile, 7-(3-aminophenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-55-5 HCAPLUS

CN Benzenemethanol, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851229-57-7 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(acetylamino)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)

RN 851229-59-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-(3-aminophenyl)-1-phenyl-, ethyl ester (CA INDEX NAME)

RN 851229-60-2 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)

RN 851229-65-7 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-(CA INDEX NAME)

RN 851229-89-5 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(dimethylamino)phenyl]-1-phenyl-(CA INDEX NAME)

RN 851230-06-3 HCAPLUS

CN Acetamide, N-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

RN 851230-34-7 HCAPLUS

CN Ethanone, 1-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

RN 851230-44-9 HCAPLUS

CN Benzenemethanol, α -methyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851363-74-1 HCAPLUS

CN 1H-Benzimidazole-5-carboxaldehyde, 7-(3-aminophenyl)-1-phenyl-, O-methyloxime (CA INDEX NAME)

851229-46-4P, 7-(3-Chlorophenyl)-1-phenyl-5-ΤТ trifluoromethylbenzimidazole 851229-47-5P 851229-56-6P , 1-Phenyl-7-[3-(1,2,3,6-tetrahydropyridin-1-ylmethyl)phenyl]-5trifluoromethylbenzimidazole 851229-61-3P 851229-63-5P , 5-Cyano-7-(3-nitrophenyl)-1-phenylbenzimidazole 851229-66-8P 851229-68-0P, 5-Cyano-7-[3-[(1-methylpiperazin-4-yl)methyl]phenyl]-1-phenylbenzimidazole 851229-69-1P 851229-73-7P, 5-Cyano-7-[3-(diethylaminomethyl)phenyl]-1-phenylbenzimidazole 851229-74-8P 851229-78-2P, 7-(3-Acetamidophenyl)-5-cyano-1-phenylbenzimidazole 851229-82-8P , 5-Cyano-7-(3-methoxyphenyl)-1-phenylbenzimidazole 851229-86-2P , 5-Cyano-7-(3-fluorophenyl)-1-phenylbenzimidazole 851229-95-3P, 7-(3-Aminophenyl)-5-hydroxymethyl-1-phenylbenzimidazole 851229-96-4P 851229-98-6P 851229-99-7P, 5-Ethoxycarbonyl-7-[3-[(dimethylamino)methyl]phenyl]-1-phenylbenzimidazole 851230-00-7P, 5-Cyano-7-(3-cyanophenyl)-1-phenylbenzimidazole 851230-08-5P 851230-10-9P 851230-17-6P, 7-(3-Acetamidophenyl)-5-hydroxymethyl-1-phenylbenzimidazole 851230-18-7P 851230-19-8P, 7-(3-Dimethylaminophenyl)-5-trifluoromethyl-1-phenylbenzimidazole 851230-20-1P, 7-(3-Methylaminophenyl)-5-trifluoromethyl-1phenylbenzimidazole 851230-21-2P, 1-Phenyl-7-[3-[(4-methylpiperazin-1-yl)methyl]phenyl]-5trifluoromethylbenzimidazole 851230-23-4P 851230-24-5P , 7-[3-[(Dimethylamino)methyl]phenyl]-1-phenyl-5trifluoromethylbenzimidazole 851230-27-8P, 7-[3-(N-Methylacetamido)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole

851230-29-0P, 5-(Hydroxymethyl)-1-phenyl-7-(3trifluoromethoxyphenyl) benzimidazole 851230-35-8P, 7-(3-Fluorophenyl)-1-phenyl-5-trifluoromethylbenzimidazole 851230-40-5P, 5-tert-Butyl-7-(3-dimethylaminophenyl)-1phenylbenzimidazole 851230-41-6P 851230-43-8P, 7-[3-(1-Methoxyethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole 851230-56-3P 851230-58-5P, 7-(3-Fluorophenyl)-5-methyl-1-phenylbenzimidazole 851230-59-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor) 851229-46-4 HCAPLUS RN CN 1H-Benzimidazole, 7-(3-chlorophenyl)-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851229-47-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxaldehyde, 7-(3-aminophenyl)-1-phenyl-, oxime (CA INDEX NAME)

RN 851229-56-6 HCAPLUS
CN 1H-Benzimidazole, 7-[3-[(3,6-dihydro-1(2H)-pyridinyl)methyl]phenyl]-1phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851229-61-3 HCAPLUS

CN Benzonitrile, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851229-63-5 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-nitrophenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-66-8 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851229-65-7 CMF C21 H15 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851229-68-0 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl- (CA INDEX NAME)

RN 851229-69-1 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851229-68-0 CMF C26 H25 N5

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CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851229-73-7 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(diethylamino)methyl]phenyl]-1-phenyl- (CA INDEX NAME)

RN 851229-74-8 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(diethylamino)methyl]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851229-73-7 CMF C25 H24 N4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851229-78-2 HCAPLUS

CN Acetamide, N-[3-(5-cyano-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA INDEX NAME)

RN 851229-82-8 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-methoxyphenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-86-2 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-fluorophenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-95-3 HCAPLUS

CN 1H-Benzimidazole-5-methanol, 7-(3-aminophenyl)-1-phenyl- (CA INDEX NAME)

RN 851229-96-4 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(4-morpholinylmethyl)phenyl]-1-phenyl-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851229-98-6 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-, ethyl ester,

hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 851229-99-7 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-[(dimethylamino)methyl]phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)

RN 851230-00-7 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-cyanophenyl)-1-phenyl- (CA INDEX NAME)

RN 851230-08-5 HCAPLUS

CN Acetamide, N-[3-[5-[(methoxyimino)methyl]-1-phenyl-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

RN 851230-10-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxaldehyde, 7-[3-(dimethylamino)phenyl]-1-phenyl-, O-methyloxime (CA INDEX NAME)

RN 851230-17-6 HCAPLUS

CN Acetamide, N-[3-[5-(hydroxymethyl)-1-phenyl-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

RN 851230-18-7 HCAPLUS

CN 1H-Benzimidazole-5-methanol, 7-[3-(ethylamino)phenyl]-1-phenyl- (CA INDEX NAME)

RN 851230-19-8 HCAPLUS

CN Benzenamine, N,N-dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851230-20-1 HCAPLUS

CN Benzenamine, N-methyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851230-21-2 HCAPLUS

CN 1H-Benzimidazole, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

RN 851230-23-4 HCAPLUS

CN 1H-Benzimidazole, 7-[3-(4-morpholinylmethyl)phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851230-24-5 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851230-27-8 HCAPLUS

CN Acetamide, N-methyl-N-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

RN 851230-29-0 HCAPLUS

CN 1H-Benzimidazole-5-methanol, 1-phenyl-7-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 851230-35-8 HCAPLUS

CN 1H-Benzimidazole, 7-(3-fluorophenyl)-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851230-40-5 HCAPLUS

CN Benzenamine, 3-[5-(1,1-dimethylethyl)-1-phenyl-1H-benzimidazol-7-yl]-N,N-dimethyl- (CA INDEX NAME)

RN 851230-41-6 HCAPLUS

CN Benzenamine, 3-[5-(1,1-dimethylethyl)-1-phenyl-1H-benzimidazol-7-yl]-N,N-dimethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-40-5 CMF C25 H27 N3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 851230-43-8 HCAPLUS

CN 1H-Benzimidazole, 7-[3-(1-methoxyethyl)phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

RN 851230-56-3 HCAPLUS CN Benzenemethanol, α, α -dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 851230-58-5 HCAPLUS CN 1H-Benzimidazole, 7-(3-fluorophenyl)-5-methyl-1-phenyl- (CA INDEX NAME)

RN 851230-59-6 HCAPLUS
CN 1H-Benzimidazole, 7-(3-fluorophenyl)-5-methyl-1-phenyl-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

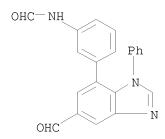
CM 1

CRN 851230-58-5 CMF C20 H15 F N2 11575380

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 851229-49-7P, 7-[3-(Formylamino)phenyl]-5-formyl-1 phenylbenzimidazole 851229-97-5P,
 5-Ethoxycarbonyl-7-[3-(chloromethyl)phenyl]-1-phenylbenzimidazole
 851230-22-3P, 7-[3-(Chloromethyl)phenyl]-1-phenyl-5 trifluoromethylbenzimidazole
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as
 modulator of GABAA receptor)
RN 851229-49-7 HCAPLUS
CN Formamide, N-[3-(5-formyl-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA



INDEX NAME)

RN 851229-97-5 HCAPLUS CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(chloromethyl)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)

RN 851230-22-3 HCAPLUS

CN 1H-Benzimidazole, 7-[3-(chloromethyl)phenyl]-1-phenyl-5-(trifluoromethyl)-(CA INDEX NAME)

IT 851229-76-0

RL: RCT (Reactant); RACT (Reactant or reagent) (reactant; preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

RN 851229-76-0 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(methylsulfonyl)methyl]phenyl]-1-phenyl- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1996:580566 HCAPLUS

DOCUMENT NUMBER: 125:300997

ORIGINAL REFERENCE NO.: 125:56339a,56342a

TITLE: Benzimidazole compounds useful as benzodiazepine

receptor ligands

INVENTOR(S): Teuber, Lene; Axelsson, Oskar; Watjen, Frank
PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 207,774,

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5554630 ZA 9402079 US 5554632 PRIORITY APPLN. INFO.:	A A A	19960910 19941024 19960910	US 1995-410572 ZA 1994-2079 US 1994-352585 DK 1993-337 DK 1993-1055 US 1994-207774	A	19950324 19940324 19941209 19930324 19930921 19940308

OTHER SOURCE(S): MARPAT 125:300997

GΙ

$$\mathbb{R}^{6}$$
 \mathbb{R}^{7}
 \mathbb{R}^{8}
 \mathbb{R}^{8}
 \mathbb{R}^{8}
 \mathbb{R}^{9}
 \mathbb{R}^{1}
 \mathbb{R}^{1}
 \mathbb{R}^{1}

AB The invention discloses title compds. I [R3 = certain (un)substituted (hetero)aryl groups; R4 = H, NH2, NO2, cyano, halo, acylamino, (un) substituted aryl; or R4 forms bridges to aryl ring of R3; R6, R7 = H, halo, NH2, NO2, cyano, acylamino, CF3, (un)substituted aryl; or R6 and R7 form certain optionally heteroatom-containing bridges] and their pharmaceutically acceptable salts, as well as the medical use of a broader class of 1-arylbenzimidazoles, including I. The compds. are useful for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders, and memory disorders. For example, 2-amino-3'-iodo-4-(trifluoromethyl)diphenylamine (preparation given) underwent cyclocondensation with formic acid at reflux, and coupling with imidazole in the presence of K2CO3 and CuBr at 200°, to give title compound II [R6 = CF3]. In an in-vivo test for inhibition of [3H]-flunitrazepam specific binding to mouse forebrain GABAA receptors, II [R6 = CF3] had an ED50 of 7.3 mg/kg i.p., and II [R6 = Me] had an ED50 of 0.8 mg/kg i.p.

IT 159726-00-8P 159726-01-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. as benzodiazepine receptor ligands)

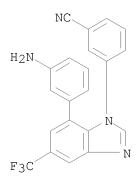
RN 159726-00-8 HCAPLUS

CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

Ph N N N

RN 159726-01-9 HCAPLUS

CN Benzonitrile, 3-[7-(3-aminophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)



L12 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:252476 HCAPLUS

DOCUMENT NUMBER: 122:31527

ORIGINAL REFERENCE NO.: 122:6227a,6230a

TITLE: Preparation of benzimidazole derivatives for the

treatment of central nervous system disorders. Axelsson, Oskar; Teuber, Lene; Watjen, Frank

INVENTOR(S): Axelsson, Oskar; Teuber, Lene; Watjen, Frank PATENT ASSIGNEE(S): Neurosearch A/S, Den.; Meiji Seika Kaisha Ltd.

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 61680 EP 61680		A1 B1	19940928 19980708	EP 1994-610012		19940311
			ES, FR,	GB, GR, IE, IT, LI,	T.II. M	C. NL. PT. SE
AU 94575		A A	19940929	AU 1994-57521	10, 11	19940303
AU 67548		В2	19970206			13310000
AT 16800		T	19980715	AT 1994-610012		19940311
ES 21191:	2.4	_ T3	19981001	ES 1994-610012		19940311
CA 21195	11	A1	19940925	CA 1994-2119511		19940321
CA 21195	11	С	20020716			
NO 94010	52	A	19940926	NO 1994-1052		19940323
CN 10993	91	A	19950301	CN 1994-103348		19940323
CN 10570	38	С	20001004			
FI 94013	78	A	19940925	FI 1994-1378		19940324
FI 11365	L	В1	20040531			
ZA 94020	79	A	19941024	ZA 1994-2079		19940324
JP 07002	338	A	19950106	JP 1994-78094		19940324
JP 34662	55	B2	20031110			
PRIORITY APPLI	N. INFO.:			DK 1993-337	A	19930324
				DK 1993-1055	А	19930921
OTHER SOURCE(5):	MARPAT	122:3152	7		

GI

RN

AB Benzimidazole compds. I (R3 = substituted Ph, pyridinyl, etc.; R4 = H, amino, nitro, etc.; R6, R7 = H, halo, cyano, nitro, etc.) were disclosed for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders.

IT 159726-00-8P 159726-01-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of benzimidazole derivs. GABA receptor antagonists or agonists) 159726-00-8 HCAPLUS

CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

RN 159726-01-9 HCAPLUS

CN Benzonitrile, 3-[7-(3-aminophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

=> log y COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 596.01 19.04 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -2.40-7.20

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